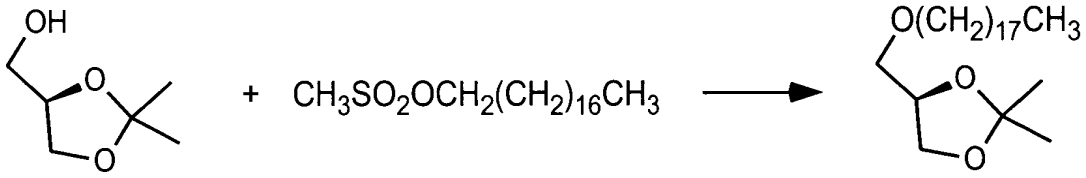
FIG. 1A

Stereospecific synthesis of L-ET18OCH$_3$

Step 1: Formation of *n*-Octadecylmesylate

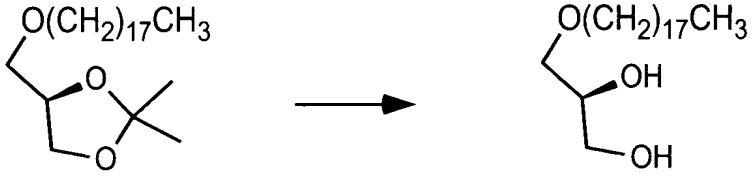
Mesyl chloride *n*-Octadecyl alcohol *n*-Octadecylmesylate

Step 2a: Coupling of the chiral synthon with *n*-Octadecyl alcohol



2,3-Isopropylidene -*sn*-glycerol *n*-Octadecylmesylate 1-O-Octadecyl-2,3--*sn*-glycerol isopropylidene

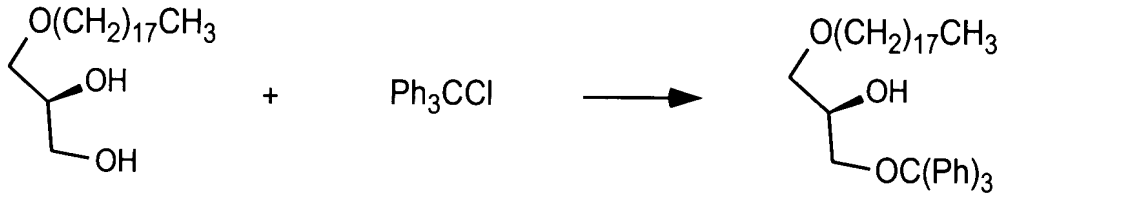
Step 2b: Deprotection of hydroxyl groups



1-O-Octadecyl-*sn*-glycerol

FIG. 1B

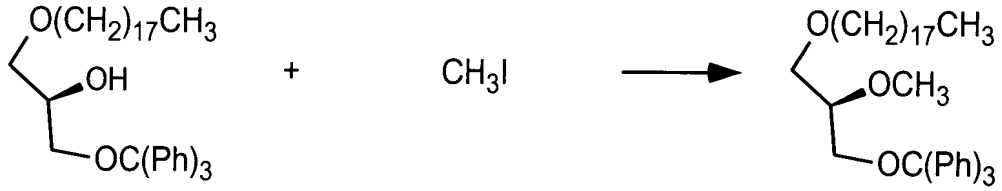
Step 3: Protection of primary hydroxyl Group



Tritylchloride

1-O-Octadecyl-3-O-trityl-*sn*-glycerol

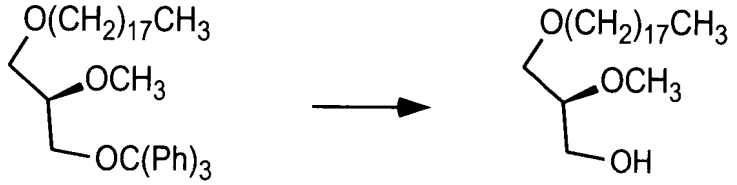
Step 4a: Methylation of Secondary Hydroxyl Group



Methyl Iodine

1-O-Octadecyl-2-O-Methyl-3-O-trityl-*sn*-glycerol

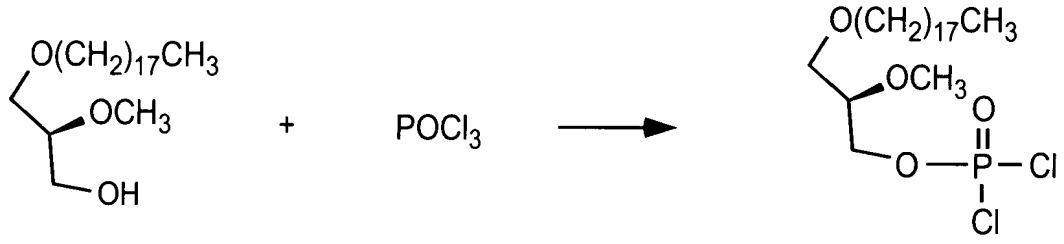
Step 4b: Deprotection of Primary Hydroxyl Group



1-O-Octadecyl-2-O-Methyl-*sn*-glycerol

FIG. 1C

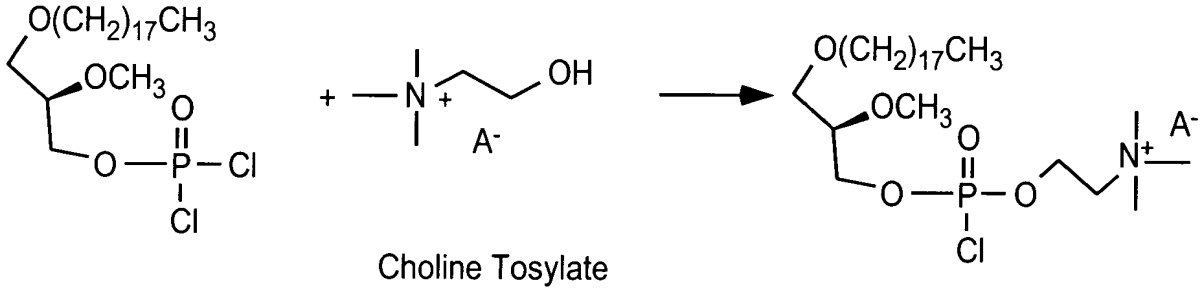
Step 5a: Formation of Phospho-ester bond



Phosphorousoxychloride

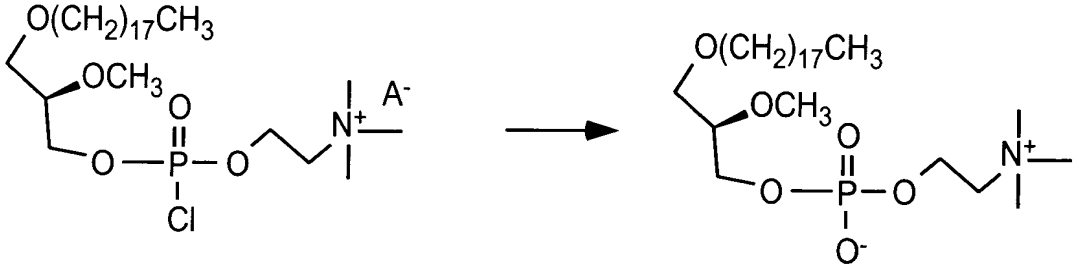
1-O-Octadecyl-2-O-Methyl-*sn*-glycerophosphodichloride

Step 5b: Coupling with Choline Tosylate



Choline Tosylate

Step 5c: Formation of Phosphocholine to complete synthesis



1-O-Octadecyl-2-O-methyl-*sn*-glycero Phosphocholine

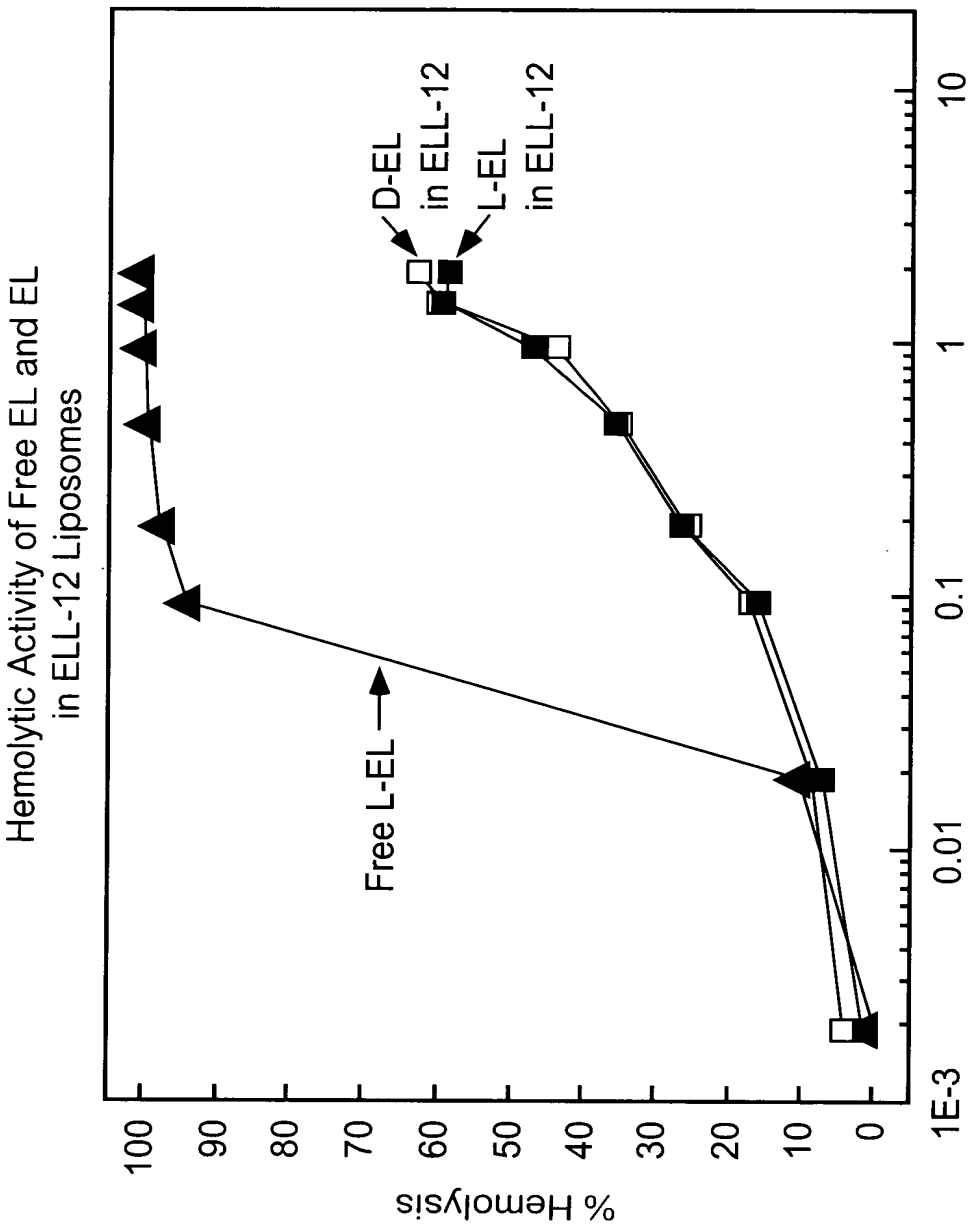

Hemolytic Activity of Free EL and EL in ELL-12 Liposomes
% Hemolysis
100
90
80
70
60
50
40
30
20
10
0
1E-3
0.01
0.1
1
10
[EL] (μM)
Free L-EL
D-EL in ELL-12
L-EL in ELL-12

FIG. 2

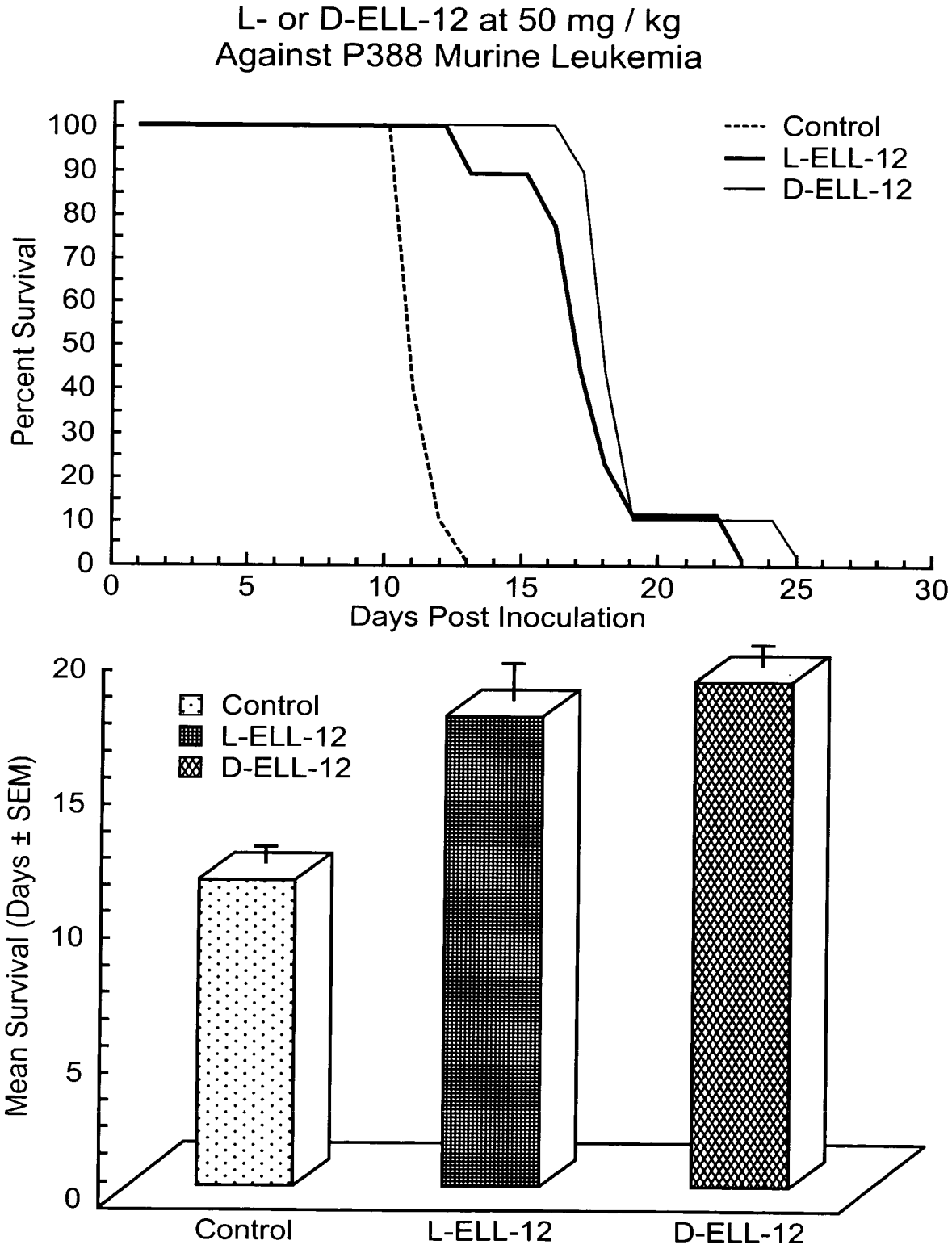

L- or D-ELL-12 at 50 mg / kg
Against P388 Murine Leukemia
Percent Survival
100
90
80
70
60
50
40
30
20
10
0
0
5
10
15
20
25
30
Days Post Inoculation
Control
L-ELL-12
D-ELL-12
Mean Survival (Days ± SEM)
20
15
10
5
0
Control
L-ELL-12
D-ELL-12

FIG. 3

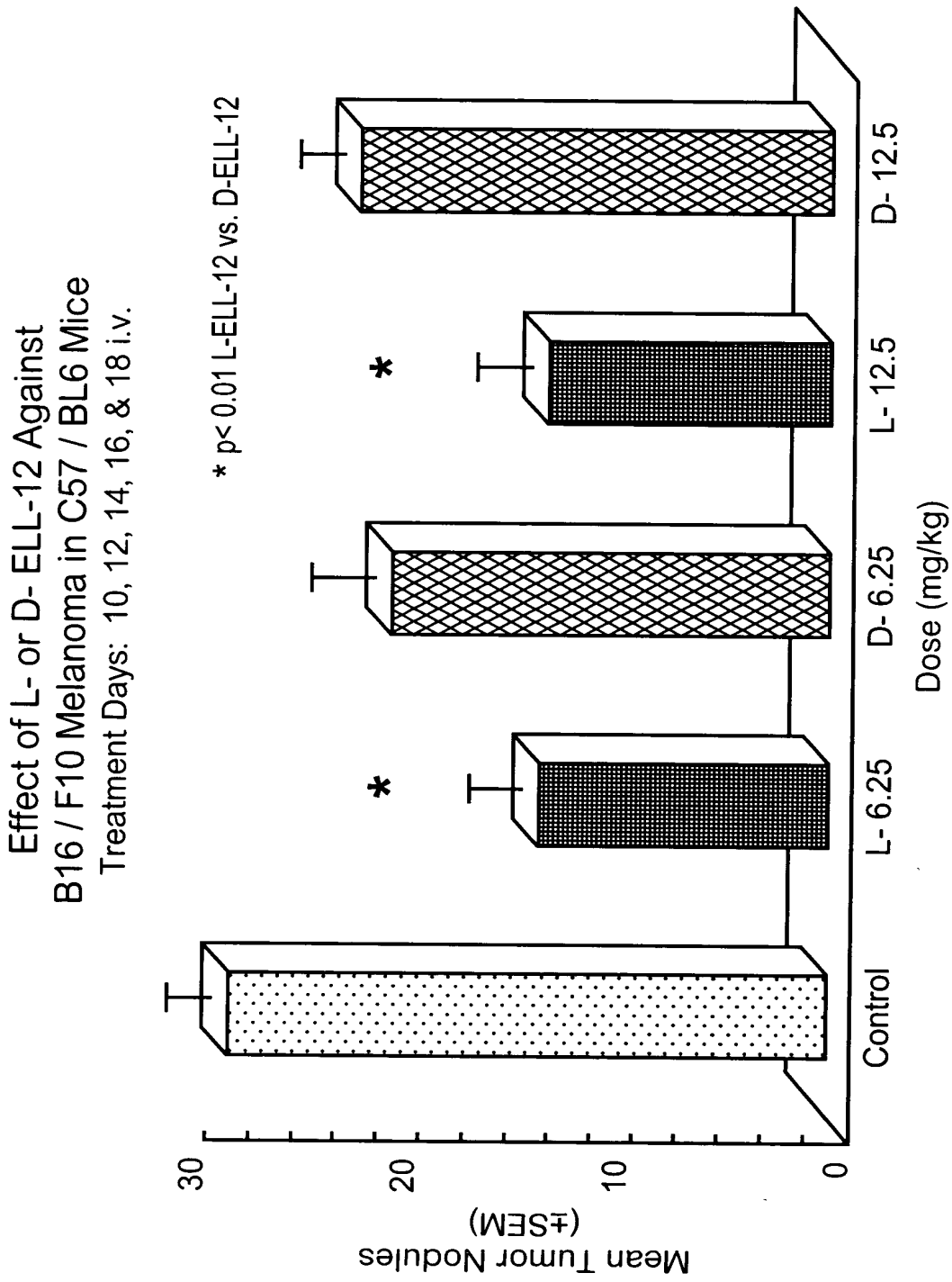

Effect of L- or D- ELL-12 Against
B16 / F10 Melanoma in C57 / BL6 Mice
Treatment Days: 10, 12, 14, 16, & 18 i.v.
* p< 0.01 L-ELL-12 vs. D-ELL-12
Mean Tumor Nodules
(±SEM)
30
20
10
0
*
*
Control
L- 6.25
D- 6.25
L- 12.5
D- 12.5
Dose (mg/kg)

FIG. 4

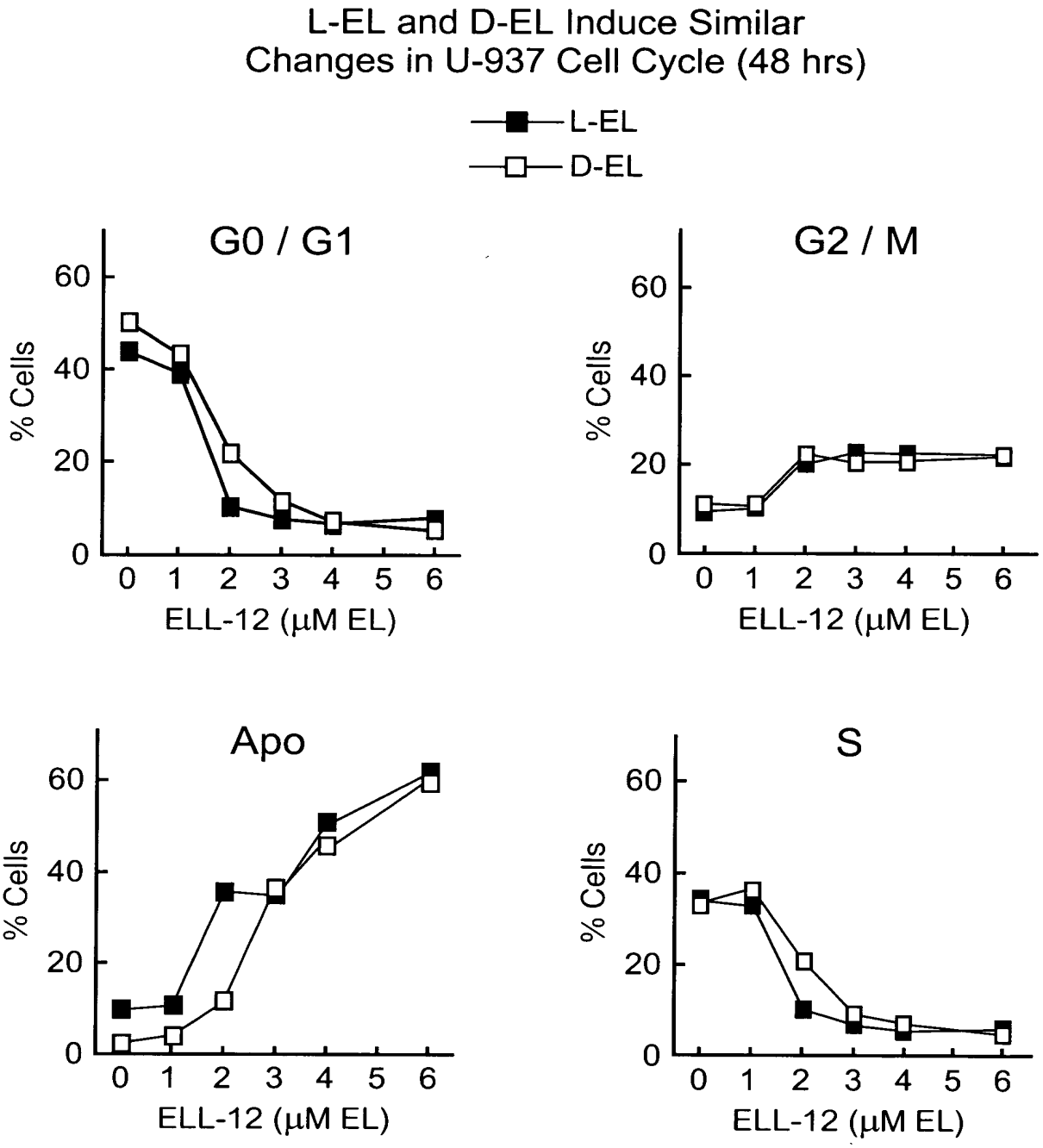

L-EL and D-EL Induce Similar
Changes in U-937 Cell Cycle (48 hrs)
L-EL
D-EL
G0 / G1
G2 / M
Apo
S
% Cells
ELL-12 (μM EL)
0
20
40
60
0 1 2 3 4 5 6

FIG. 5

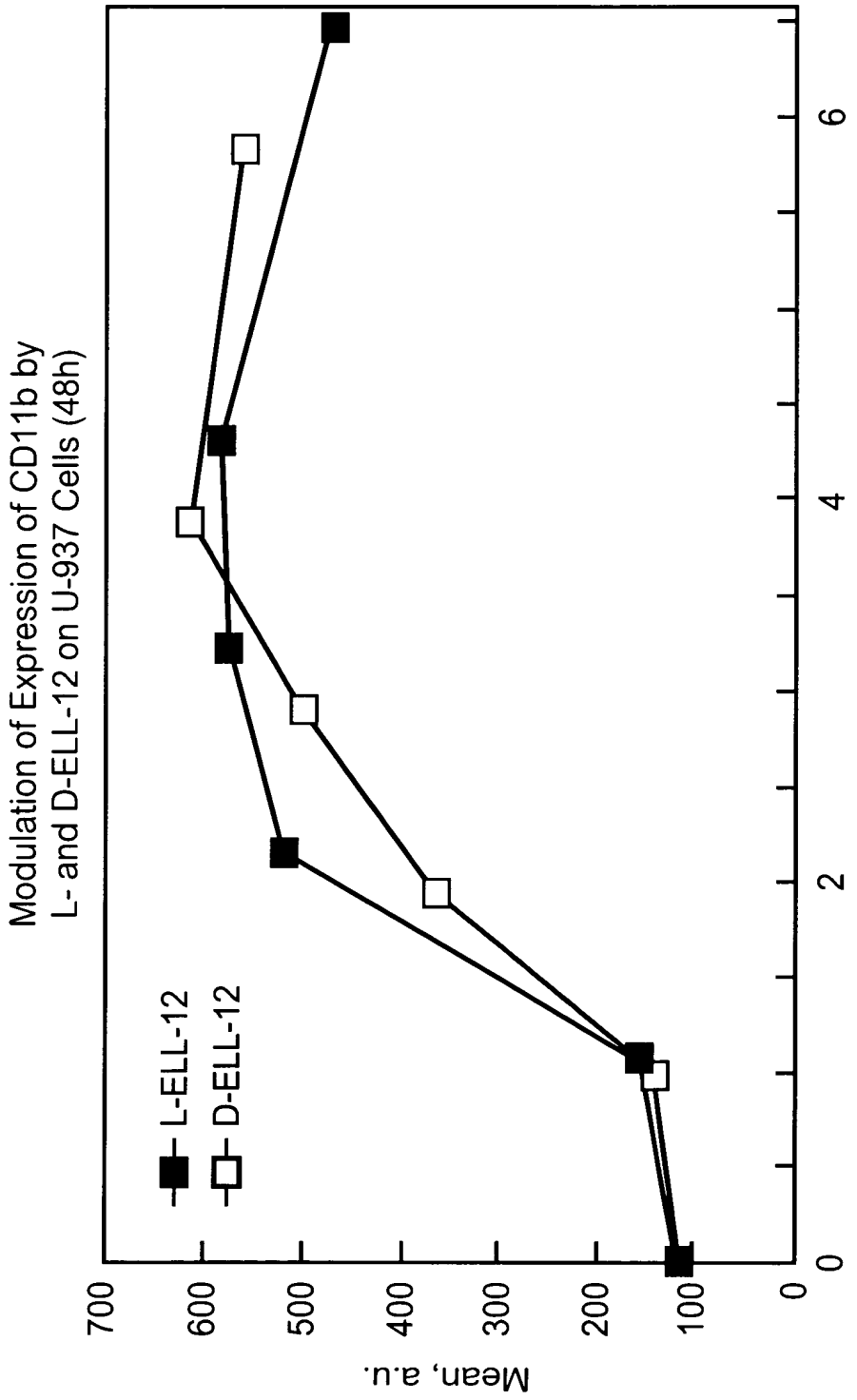

Modulation of Expression of CD11b by
L- and D-ELL-12 on U-937 Cells (48h)
L-ELL-12
D-ELL-12
Mean, a.u.
700
600
500
400
300
200
100
0
0
2
4
6
ELL-12, μM

FIG. 6

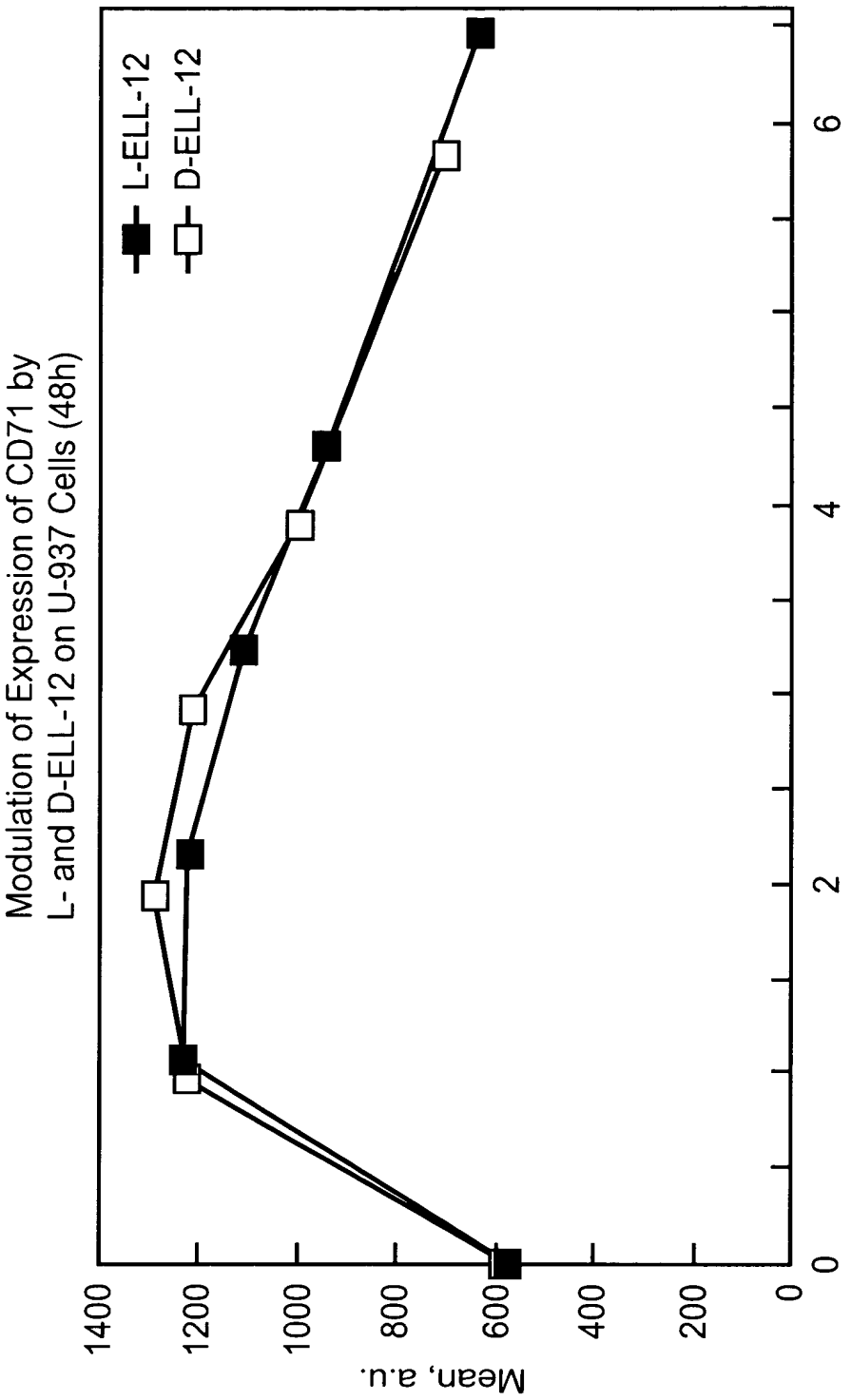

Modulation of Expression of CD71 by
L- and D-ELL-12 on U-937 Cells (48h)
L-ELL-12
D-ELL-12
Mean, a.u.
1400
1200
1000
800
600
400
200
0
0
2
4
6
ELL-12, μM

FIG. 7